N524397

ACCESS DB # 180530
PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

	umber: 2- 0663 ailbox #): 5C18 Results	iner # : <u>59193</u> Date: Serial Number:	<u>(0524397)</u> APÉR) DISK
To ensure an efficient and quality search, ple	ase attach a copy of the cover sheet	claims, and abstract or fill out the	following:
Title of Invention:		·	
Inventors (please provide full names):	·	·	
Earliest Priority Date:	<u> </u>	,	
Search Topic: Please provide a detailed statement of the searc elected species or structures, keywords, synony Define any terms that may have a special mean	ms, acronyms, and registry numbers,	and combine with the concept or uti	arched. Include the lity of the invention.
For Sequence Searches Only Please include appropriate serial number.	all pertinent information (parent, c	hild, divisional, or issued patent num	bers) along with the
H ₂ N— N	N H N	<i></i> ∕CH,	
	о-со-о соон А А		
all A, A', A"=			
Compaired must	be multic	omponent	
		(3)(6)	8
		1614	
		1607	
***********	*******	, ***********	****
STAFF USE ONLY	Type of Search	Vendors and cost where app	
Searcher: Wang	NA Sequence (#)		Dialog Lexis/Nexis
Searcher Phone #:	AA Sequence (#) Structure (#)		WWW/Internet
Searcher Location:	Structure (#) Bibliographic	In-house sequence system	
Date Searcher Picked Up:		Commercial ·Oligomer	Score/Length
Date Completed: 2/24	Litigation	Interference SPDI Other (specify)	Encode/Transl
Searcher Prep & Review Time:	Fulltext		

This Page Blank (uspíc)

=> dis his

(FILE 'HOME' ENTERED AT 16:07:35 ON 24 FEB 2006)

FILE 'REGISTRY' ENTERED AT 16:07:42 ON 24 FEB 2006

L1 STR
L2 0 S L1
L3 0 S L1 FUL
L4 STR L1
L5 2 S L4
L6 15 S L4 FUL

=> d 16 que stat;scr 2127

L4 STR

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE

L6 15 SEA FILE=REGISTRY SSS FUL L4

100.0% PROCESSED 187 ITERATIONS

SEARCH TIME: 00.00.01

15 ANSWERS

L7 SCREEN CREATED

=> search

ENTER LOGIC EXPRESSION, QUERY NAME, OR (END):17
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):16
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful

FULL SUBSET SEARCH INITIATED 16:13:43 FULL SUBSET SCREEN SEARCH COMPLETED

SEARCH TIME: 00.00.01

11 SEA SUB=L6 SSS FUL L7

=> fil caplus;s 18 COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 376.80 377.01

11 ANSWERS

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 16:13:55 ON 24 FEB 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 24 Feb 2006 VOL 144 ISS 10 FILE LAST UPDATED: 23 Feb 2006 (20060223/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

6 L8 L9

=> d 1-6 ibib abs hitstr

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:79174 CAPLUS

DOCUMENT NUMBER: 144:170818

TITLE:

Preparation of tertiary amine salts of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as

intermediates for cefdinir

INVENTOR(S): Kremminger, Peter; Silberberger, Herbert

PATENT ASSIGNEE(S): Sandoz AG, Switz. SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND APPLICATION NO. DATE _ _ _ _ ______ WO 2006008160 20060126 WO 2005-EP7958 20050721 A1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GΙ

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO:

GB 2004-16379

A 20040722
```

Crystalline tertiary amine salts of 2-(2-aminothiazol-4-yl)-2-AΒ (acyloxyimino) acetic acid compds. of formula (I) (R1, R2, R3 = independently unsubstituted or substituted alkyl, cycloalkyl or aryl; R4 = acyl) are prepared These salts may be obtained in anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 25.0 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid monohydrate (water content: 8.0%) was suspended in 20 mL acetone at ambient temperature and 5.2 mL tributylamine was added. The mixture was cooled to -10° and stirred at this temperature for 60 and filtered to give, after washing with a small portion of cold acetone and dried in vacuum to give, 32.7 g tributylammonium syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetate (water content: 0.1%) (II). II was converted into syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid 2-benzothiazolyl thioester by treatment with bis(benzothiazol-2-yl) disulfide and then condensed with 7-amino-3-vinyl-cephem-4-carboxylic acid to give 7-[2-(2-aminothiazol-4y1)-2-[[(methylcarbonyl)oxy]imino]acetamido]-3-vinylcephem-4-carboxylic acid phosphate which was converted into cefdinir by treatment with a mixture of concentrated H2SO4 in MeOH.

IT 663170-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tertiary amine salts of 2-(2-aminothiazole-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

RN 663170-79-4 CAPLUS

5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.

CM 2

CRN 7664-38-2 CMF H3 O4 P

IT 874438-71-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of tertiary amine salts of 2-(2-aminothiazole-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

RN 874438-71-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

CRN 104-15-4 CMF C7 H8 O3 S

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

5

ACCESSION NUMBER:

2006:76118 CAPLUS

DOCUMENT NUMBER:

144:170817

TITLE:

Preparation of alkamide solvates of

2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as

intermediates for cefdinir

INVENTOR(S):

Kremminger, Peter; Silberberger, Herbert

PATENT ASSIGNEE(S):

Sandoz AG, Switz.

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
			· ·
WO 2006008161	A1 20060126	WO 2005-EP7963	20050721
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KM,	KP, KR, KZ,
LC, LK, LR,	LS, LT, LU, LV,	MA, MD, MG, MK, MN, MW,	MX, MZ, NA,
NG, NI, NO,	NZ, OM, PG, PH,	PL, PT, RO, RU, SC, SD,	SE, SG, SK,
SL, SM, SY,	TJ, TM, TN, TR,	TT, TZ, UA, UG, US, UZ,	VC, VN, YU,
ZA, ZM, ZW			
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR, GB,	GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

GB 2004-16380 A 20040722
GI

$$R^4$$
 R^4
 R^4

AΒ Crystalline N, N-dimethylalkamide solvates of 2-(2-aminothiazole -4-yl)-2(acyloxyimino)acetic acid compds. of formula (I) [R1 = H, (un) substituted alkyl; R4 = acyl] are prepared These compds. may be prepared in an anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 15.0 g syn-2-(2-aminothiazol-4y1)-2-[[(methylcarbonyl)oxy]imino]acetic acid dihydrate (H2O content 13.5%) was dispensed into 54.0 mL N, N-dimethylacetamide at 50° and stirred for 90 min. The crystalline suspension was cooled to 0°, treated with 150 mL CH2Cl2 and the white crystals were filtered, washed three times, each with 30 mL CH2Cl2, and dried over night in vacuum at 30° to give 15,9 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid N,N-dimethylacetamide solvate (II) (water content 0.4 %). II was converted into syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid benzothiazol-2-yl thioester by treatment with bis(benzothiazol-2-yl) disulfide followed by amidation with 7-amino-3-vinylcephem-4-carboxylic acid and acidification with phosphoric acid to give 7-[2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acet amido]-3-vinylcephem-4-carboxylic acid phosphate (III). Cefdinir was obtained by treatment of III with a mixture of concentrated H2SO4 and MeOH. IT 663170-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-

(acyloxyimino) acetic acid as intermediates for cefdinir)

RN 663170-79-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

CRN 7664-38-2 CMF H3 O4 P

IT 874438-71-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

RN 874438-71-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

CRN 104-15-4 CMF C7 H8 O3 S

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:162698 CAPLUS

DOCUMENT NUMBER: 140:217437

TITLE: Process for the preparation of cefdinir intermediate

INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher,

Johannes

PATENT ASSIGNEE(S): Sandoz G.m.b.H., Austria SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004016623	A1 20040226	WO 2003-EP8944	20030812
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
HR, HU, ID,	IL, IN, IS, JP,	KE, KG, KP, KR, KZ, LC,	LK, LT, LU,
LV, MA, MD,	MK, MN, MX, NI,	NO, NZ, OM, PG, PH, PL,	PT, RO, RU,
SC, SE, SG,	SK, SY, TJ, TM,	TN, TR, TT, UA, US, UZ,	VC, VN, YU,
ZA, ZW			
RW: AM, AZ, BY,	KG, KZ, MD, RU,	TJ, TM, AT, BE, BG, CH,	CY, CZ, DE,
DK, EE, ES,	FI, FR, GB, GR,	HU, IE, IT, LU, MC, NL,	PT, RO, SE,
SI, SK, TR			

AU 2003255424 20040303 AU 2003-255424 20030812 Α1 EP 2003-787771 20050720 20030812 EP 1554289 Α1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK T2 20060105 JP 2004-528469 JP 2006500356 20030812 US 2006025586 Α1 20060202 US 2005-524397 20050211 PRIORITY APPLN. INFO.: AT 2002-1223 Α 20020813 AT 2002-1588 Α 20021018 WO 2003-EP8944 20030812

OTHER SOURCE(S):

MARPAT 140:217437

GI

AB A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl-, HSO4-,RYO3-, H2NSO3-, 1/2(SO4)2-; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.

IT 663170-77-2P 663170-78-3P 663170-79-4P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation and X-ray diffraction measurements of intermediates in the production of cefdinir)

RN 663170-77-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

CRN 7664-93-9 CMF H2 O4 S

RN 663170-78-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

CRN 7664-93-9 CMF H2 O4 S

RN 663170-79-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 7664-38-2 CMF H3 O4 P

IT 443874-49-5P 663170-80-7P 663170-81-8P 663170-82-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

Absolute stereochemistry.

Double bond geometry as shown.

● HCl

RN 663170-80-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8oxo-, (6R,7R)-, phosphonate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8
CMF C16 H15 N5 O6 S2

CRN 13598-36-2 CMF H3 O3 P

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

663170-81-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8oxo-, (6R,7R)-, monosulfamate (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 5329-14-6 CMF H3 N O3 S

RN 663170-82-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8oxo-, (6R,7R)-, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8 CMF C16 H15 N5 O6 S2

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:880903 CAPLUS

DOCUMENT NUMBER: 137:125013

TITLE: Synthesis of cefdinir

AUTHOR(S): Lin, Gui-chun; Liu, Li; Ma, Ling-tai; Min, Ji-mei;

Zhang, Li-he

CORPORATE SOURCE: Natl. Res. Lab. Natural Biomimetic Drugs, Peking

Univ., Beijing, 100083, Peop. Rep. China

SOURCE: Hecheng Huaxue (2001), 9(5), 383-385

CODEN: HEHUE2; ISSN: 1005-1511

PUBLISHER: Hecheng Huaxue Bianjibu

DOCUMENT TYPE: Journal LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 137:125013

AB Cefdinir was synthesized via the condensation of 2-(2-aminothiazol-4-yl)-2-(Z)-(acetyinmino)acetyl chloride with 7-amino-3-vinyl-3-cephem-4-carboxylic acid. Under the optimization reaction conditions 60% total

yield was achieved.

IT 443874-49-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of cefdinir)

RN 443874-49-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

● HCl

L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:33941 CAPLUS

DOCUMENT NUMBER: 104:33941

TITLE: Cephem derivatives

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60105683 .	A2	19850611	JP 1983-212461	19831114
JP 02027998	B4	19900620		•
PRIORITY APPLN. INFO.:			JP 1983-212461	19831114
GT				

Cephem derivs. (I; R1 = NH2, protected NH2; R2, R4 = CO2H, protected CO2H; R3 = H, halo, alkylthio, etc.; Z = C2-10 alkylene, phenylene, cycloalkylene), effective antibacterials at 0.025-12.5 μg/mL were prepared Thus, 5% HCl was added to a suspension of 380 mg syn-II in EtOAc-THF to pH 2.5 under cooling, 70 mg 1-hydroxybenzotriazole and 250 mg III were added to solution, 103 mg DCC added to 5° and stirred to give 310 mg syn-I (R1 = Ph3CNH, R2Z = p-C6H4CO2CHPh2, R3 = 1-methyl-1,2,3,4-tetrazol-5-ylthiomethyl, R4 = CO2CHPh2).

Ι

IT 99743-93-8P 99744-01-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of)

RN 99743-93-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(3-carboxy-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6 α ,7 β (Z)]]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 99743-92-7

CMF C18 H17 N5 O8 S2

CRN 76-05-1 CMF C2 H F3 O2

RN 99744-01-1 CAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2-carboxy-2-methyl-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6 α ,7 β (Z)]]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 99744-00-0 CMF C19 H19 N5 O8 S2

CRN 76-05-1 CMF C2 H F3 O2

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1985:113176 CAPLUS

DOCUMENT NUMBER:

102:113176

TITLE:

SOURCE:

Novel cephem compounds

PATENT ASSIGNEE(S):

Meiji Seika Kaisha, Ltd., Japan Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59184186	A2	19841019	JP 1983-57465	19830401
PRIORITY APPLN. INFO.:			JP 1983-57465	19830401
GI				

Cephems I (R = amino, protein amino; R1 = alkyl; R2 = vinyl, alkylthio, CH:CHCO2R4, CH2CO2R5; R3 = CO2H, protected carboxyl; R4; R5 = H, alkyl) were prepared Thus, amidation of syn-2-(2-tritylaminothiazol-4-yl)-2-(pivaloyloxyimino)acetic acid with diphenylmethyl 7-amino-3-vinyl-3-cephem-4-carboxylate followed by hydrolysis with Cl3CCO2H gave syn-I.Cl3CCO2H (R = NH2, R1 = Me3C, R2 = vinyl, R3 = CO2H). The latter compound showed broad spectrum bactericidal activity.

IT 94796-36-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

Ι

RN 94796-36-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2,2-dimethyl-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α , 7β (Z)]]-, trichloroacetate (9CI) (CA

INDEX NAME)

CM 1

CRN 94796-35-7

CMF C19 H21 N5 O6 S2

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 76-03-9 CMF C2 H C13 O2

=> fil caol;s 19 COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL

ENTRY SESSION 31.12 408.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

31.12 408.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

-4.50 **-4.5**0

FILE 'CAOLD' ENTERED AT 16:14:16 ON 24 FEB 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01.May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L10 0 L8

=> fil medl, biosis, embase; s 18

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.44 408.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -4.50

FILE 'MEDLINE' ENTERED AT 16:14:24 ON 24 FEB 2006

FILE 'BIOSIS' ENTERED AT 16:14:24 ON 24 FEB 2006 Copyright (c) 2006 The Thomson Corporation

FILE 'EMBASE' ENTERED AT 16:14:24 ON 24 FEB 2006 Copyright (c) 2006 Elsevier B.V. All rights reserved.

L11 0 FILE MEDLINE
L12 0 FILE BIOSIS
L13 0 FILE EMBASE

TOTAL FOR ALL FILES L14 0 L8

=> dis his

(FILE 'HOME' ENTERED AT 16:07:35 ON 24 FEB 2006)

FILE 'REGISTRY' ENTERED AT 16:07:42 ON 24 FEB 2006

L1 STR
L2 0 S L1
L3 0 S L1 FUL
L4 STR L1
L5 2 S L4
L6 15 S L4 FUL
L7 SCR 2127

L8 11 SEARCH L7 SUB=L6 FUL

FILE 'CAPLUS' ENTERED AT 16:13:55 ON 24 FEB 2006 L9 6 S L8

FILE 'CAOLD' ENTERED AT 16:14:16 ON 24 FEB 2006 L10 0 S L9

FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 16:14:24 ON 24 FEB 2006

O FILE MEDLINE L11

L12 0 FILE BIOSIS

L13 O FILE EMBASE

TOTAL FOR ALL FILES

0 S L8 L14

=> d 18 que atat

'ATAT' IS NOT VALID HERE

For an explanation, enter "HELP DISPLAY QUERY".

=> d 18 que stat

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE

L6 15 SEA FILE=REGISTRY SSS FUL L4

L7 SCR 2127

L8 11 SEA FILE=REGISTRY SUB=L6 SSS FUL L7

FULL SUBSET SCREEN SEARCH COMPLETED

SEARCH TIME: 00.00.01

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.35	411.92
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -4.50

11 ANSWERS

STN INTERNATIONAL LOGOFF AT 16:14:53 ON 24 FEB 2006